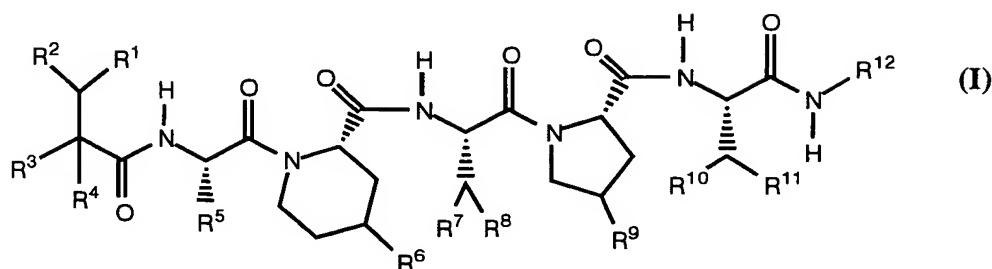


WHAT IS CLAIMED IS:

5 1. A compound of Formula I:



wherein R¹ is selected from alkyl, phenyl, cycloalkyl rings having four to ten ring-member carbon atoms,

10 bicycloalkyl fused ring systems having seven to nine ring-member carbon atoms, heteroaryl, heteroarylalkyl, benzo-fused-heteroaryl and benzo-fused-heteroarylalkyl wherein said heteroaryl moiety or fragment is a 5- or 6-ring-member fully-unsaturated ring system having one hetero atom as a ring member, said hetero atom selected from oxygen, nitrogen and sulfur atoms, and wherein any of said heteroaryl, heteroarylalkyl, benzo-fused-heteroaryl and benzo-fused-heteroarylalkyl may be attached to the nucleus of Formula I as an R¹ substituent

15 through a bond formed at any said ring-member atom or any atom of the alkyl portion of said R¹ substituent where said bond is capable of forming a stable compound;

wherein R² is selected from hydrido, lower alkyl,

25 cyclohexyl and phenyl;

wherein R³ is selected from hydrido, hydroxy, lower alkyl, phenyl, acetyl(Lys)NH-, acetyl(Tyr)NH-, acetyl(Thr)NH-, acetylamino, propionylamino and

30 benzyloxycarbonylamino;

wherein R⁴ is selected from hydrido, lower alkyl and phenyl;

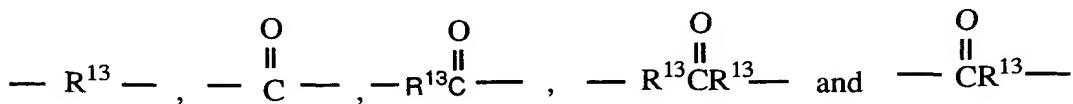
wherein R^5 is selected from hydrido, lower alkyl, phenyl, benzyl, hydroxyphenyl, hydroxybenzyl, aminoalkyl, mono-alkyl-substituted-aminoalkyl and radicals provided by B-Het-A;

5

wherein Het is selected from heteroaryl moieties consisting of monocyclic and fused bicyclic ring systems having a total of five to fourteen ring members and with one to six ring members being selected from hetero atoms provided by oxygen, nitrogen and sulfur atoms, wherein said monocyclic ring system and at least one ring system of said fused bicyclic ring system is fully unsaturated, and

15 wherein Het is further selected from heterocyclic moieties consisting of monocyclic and fused polycyclic ring systems having a total of four to twelve ring members and with one to six ring members selected from hetero atoms provided by oxygen, nitrogen and sulfur atoms, wherein said monocyclic ring-system and at least one ring system of said fused polycyclic ring system is fully saturated or partially unsaturated,

25 wherein A is a single covalent bond or is a divalent radical selected from



wherein R^{13} is lower alkyl;

30

wherein B is one or more substituents attached at a substitutable position on Het of Het-A, said substituent selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, hydroxyalkyl, alkoxyalkyl, carboxy, alkenyl, alkynyl, halo, haloalkyl, oxo, cyano, benzyl and phenyl;

wherein R⁶ is selected from hydrido, lower alkyl, hydroxy, alkoxy, alkoxyalkyl, carboxyalkyl, alkoxy carbonyl, alkoxy carbonyloxy, aminoalkyl, mono-alkyl-substituted-aminoalkyl, amido and amidoalkyl;

5

wherein R⁷ is selected from carboxyl, lower alkyl, amido and methylthiomethyl;

10

wherein R⁸ is selected from hydrido, methyl and ethyl;

10

wherein R⁹ is selected from hydrido, lower alkyl, alkoxy and phenyl;

15

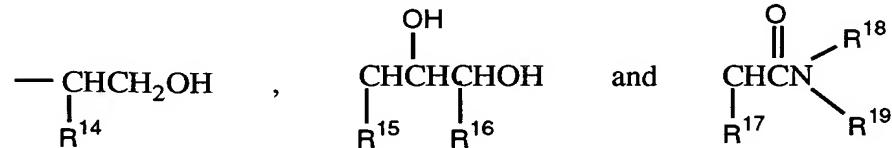
wherein R¹⁰ is hydrido or hydroxy;

15

wherein R¹¹ is hydrido or methyl;

20

wherein R¹² is selected from lower alkyl, phenyl, phenylalkyl, cycloalkyl, cycloalkylalkyl,



25

wherein each of R¹⁴ through R¹⁷ is independently selected from hydrido, hydroxy, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, halo, haloalkyl, cyano, benzyl and phenyl;

30

wherein each of R¹⁸ and R¹⁹ is independently selected from hydrido, alkyl, cycloalkyl, cycloalkylalkyl, benzyl and phenyl;

or a pharmaceutically-acceptable amide, ester or salt thereof.

35

2. Compound of Claim 1 wherein R¹ is selected from cyclopentyl, cyclohexyl, cycloheptyl, norbornanyl, phenyl, furyl, pyrrolyl, thietyl, chromanyl, isochromanyl, benzothienyl, pyridyl, indolizinyl, 5 isoindolyl, indolyl, 3H-indolyl, quinolizinyl, quinolyl, isoquinolyl, azetidinyl, thioazetidinyl, pyrrolidinyl, pyrrolinyl, oxazolidinyl, thiazolidinyl, imidazolidinyl, imidazolinyl, pyrazolidinyl, pyrazolinyl, piperidinyl, piperazinyl, 1,3-morpholino, 1,4-morpholino, 1,4-10 thiomorpholino, azepinyl, oxazopinyl, thiazopinyl, oxazocinyl, thiazocinyl, azoninyl, oxazabicyclo, benzo-fused-oxazolidinyl, benzo-fused-thiazolidinyl, benzo-fused-morpholino, benzo-fused thiomorpholiny, benzo-fused-thiazopinyl, benzo-fused oxazopinyl, benzo-fused-15 oxazocinyl, benzo-fused-oxazoninyl, tropanyl and benzo-fused-oxazobicyclo;

wherein R² is selected from hydrido, methyl, ethyl, 20 propyl, cyclohexyl and phenyl;

wherein R³ is selected from hydrido, hydroxy, methyl, ethyl, phenyl, acetyl(Lys)NH-, acetyl(Tyr)NH-, acetyl(Thr)NH-, acetylamino, propionylamino and 25 benzyloxycarbonylamino;

wherein R⁴ is hydrido or methyl;

wherein R⁵ is selected from hydrido, n-propyl, isopropyl, 30 n-butyl, isobutyl, phenyl, benzyl, hydroxyphenyl, hydroxybenzyl, aminopropyl, aminobutyl and radicals

$$\begin{array}{c} \text{O} \\ \parallel \\ \text{B-Het-R}^{13} \end{array}$$

 provided by B-Het-R¹³ and B-Het-CR¹³;

wherein Het is selected from furyl, pyrrolyl, thietyl, 35 chromanyl, isochromanyl, benzothienyl, pyridyl, indolizinyl, isoindolyl, indolyl, 3H-indolyl, quinolizinyl, quinolyl, isoquinolyl, imidazolyl,

pyrazolyl, oxazolidyl, thiazolidyl, isothiazolidyl,
isoxazolidyl, furazanyl, pyrazinyl, pyrimidinyl,
pyridazinyl, indazolyl, purinyl, phthalazinyl,
naphthyridinyl, quinoxaliny1, quinazolinyl, cinnolinyl,
5 pteridinyl, thieno-furanyl, fuopyranyl, pyrido-oxazinyl,
pyrazolo-oxazolyl, imidazo-thiazolyl, pyrazino-
pyridazinyl, imidazo-thiazolyl, oxothiolo-pyrrolyl,
imidazo-triazinyl, benzoxazinyl, azetidinyl,
thioazetidinyl, pyrrolidinyl, pyrrolinyl, oxazolidinyl,
10 thiazolidinyl, imidazolidinyl, imidazolinyl,
pyrazolidinyl, pyrazolinyl, piperidinyl, piperazinyl,
1,3-morpholino, 1,4-morpholino, 1,4-thiomorpholino,
azepinyl, oxazopinyl, thiazopinyl, oxazocinyl,
thiazocinyl, azoninyl, oxazabicyclo, benzo-fused-
15 oxazolidinyl, benzo-fused-thiazolidinyl, benzo-fused-
morpholino, benzo-fused thiomorpholinyl, benzo-fused-
thiazopinyl, benzo-fused oxazopinyl, benzo-fused-
oxazocinyl, benzo-fused-oxazoninyl, tropanyl and benzo-
fused-oxazobicyclo;

20 wherein R¹³ is lower alkyl;

wherein B is one or more substituents attached at a
substitutable position on Het, said substituent selected
25 from hydrido, hydroxy, alkyl, cycloalkyl,
cycloalkylalkyl, alkoxy, hydroxyalkyl, alkoxyalkyl, oxo,
benzyl and phenyl;

30 wherein R⁶ is selected from hydrido, lower alkyl,
hydroxy, methoxy carboxyalkyl, alkoxycarbonyl,
alkoxycarbonyloxy, aminoalkyl, mono-alkyl-substituted-
aminoalkyl, amido and amidoalkyl;

35 wherein R⁷ is selected from carboxyl, lower alkyl, amido
and methylthiomethyl;

wherein R⁸ is hydrido or methyl;

wherein R⁹ is selected from hydrido, lower alkyl, methoxy and phenyl;

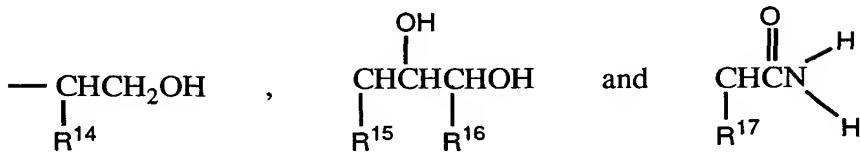
wherein R¹⁰ is hydrido or hydroxy;

5

wherein R¹¹ is hydrido or methyl;

wherein R¹² is selected from lower alkyl, phenyl, benzyl, phenylethyl, cyclohexylethyl,

10



wherein each of R¹⁴ through R¹⁷ is independently selected
15 from hydrido, hydroxy and alkyl;

or a pharmaceutically-acceptable amide, ester or salt thereof.

20 3. Compound of Claim 2 wherein R¹ is selected from cyclopentyl, cyclohexyl, cycloheptyl, norbornanyl, phenyl, azetidinyl, thioazetidinyl, pyrrolidinyl, pyrrolinyl, oxazolidinyl, thiazolidinyl, imidazolidinyl, imidazolinyl, pyrazolidinyl, pyrazolinyl, 25 piperidinyl, piperazinyl 1,3-morpholino, 1,4-morpholino, 1,4-thiomorpholino, azepinyl, oxazopinyl, thiazopinyl, oxazocinyl, thiazocinyl, azoninyl, oxazabicyclo and tropanyl;

30 wherein R² is selected from hydrido, methyl, ethyl, propyl, acetyl(Lys)NH-, acetyl(Tyr)NH-, acetyl(Thr)NH-, cyclohexyl and phenyl;

35 wherein R³ is selected from hydrido, hydroxy, methyl, ethyl, phenyl, acetylamino, propionylamino and benzyloxycarbonylamino;

wherein R⁴ is hydrido or methyl;

5 wherein R⁵ is selected from hydrido, n-propyl, isopropyl, n-butyl, isobutyl, aminopropyl, aminobutyl, phenyl, hydroxyphenyl, benzyl, hydroxybenzyl and radicals

$$\begin{array}{c} \text{O} \\ \parallel \end{array}$$

 provided by B-Het-CR¹³;

10 wherein Het is selected from azetidinyl, pyridinyl, isoindolyl, oxazolyl, isoxazolyl, indolyl, quinolyl, isoquinolyl, azetidinyl, thioazetidinyl, pyrrolidinyl, pyrrolinyl, oxazolidinyl, thiazolidinyl, imidazolyl, imidazolidinyl, imidazolinyl, pyrazolidinyl, pyrazolinyl, piperidinyl, piperazinyl,
 15 1,3-morpholino, 1,4-morpholino, 1,4-thiomorpholino, azepinyl, oxazopinyl, thiazopinyl, oxazocinyl, thiazocinyl, azoninyl, oxazabicyclo and tropanyl;

20 wherein R¹³ is selected from methyl, ethyl and propyl;
 wherein B is one or more substituents attached at a substitutable position on Het, said substituent selected from hydrido, hydroxy, methyl, ethyl, propyl, oxo, benzyl and phenyl;

25 wherein R⁶ is selected from hydrido, methyl, hydroxy, methoxy, phenyl, alkoxy carbonyl, alkoxy carbonyloxy, aminoalkyl, mono-amido and amidoalkyl;

30 wherein R⁷ is selected from carboxyl, n-propyl, n-butyl, amido and methylthiomethyl;

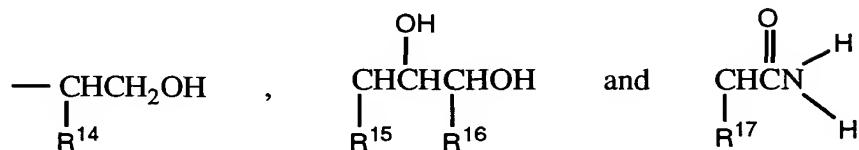
wherein R⁸ is hydrido or methyl;

35 wherein R⁹ is selected from hydrido, lower alkyl, methoxy and phenyl;

wherein R¹⁰ is hydroxy;

wherein R¹¹ is hydrido or methyl;

5 wherein R¹² is selected from lower alkyl, phenyl, phenylethyl, cyclohexylethyl,



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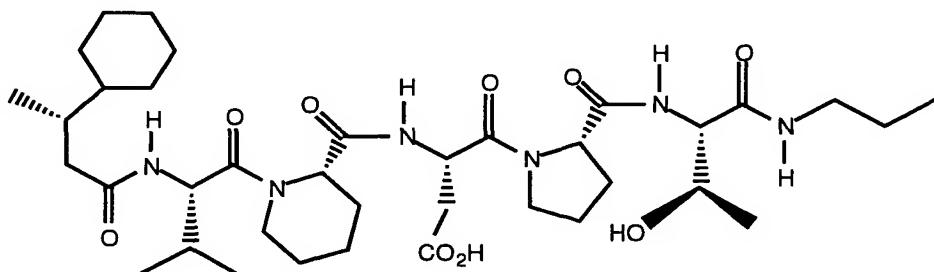
wherein each of R¹⁴ through R¹⁷ is independently selected from hydrido, hydroxy, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, benzyl and phenyl;

15 or a pharmaceutically-acceptable amide, ester or salt thereof.

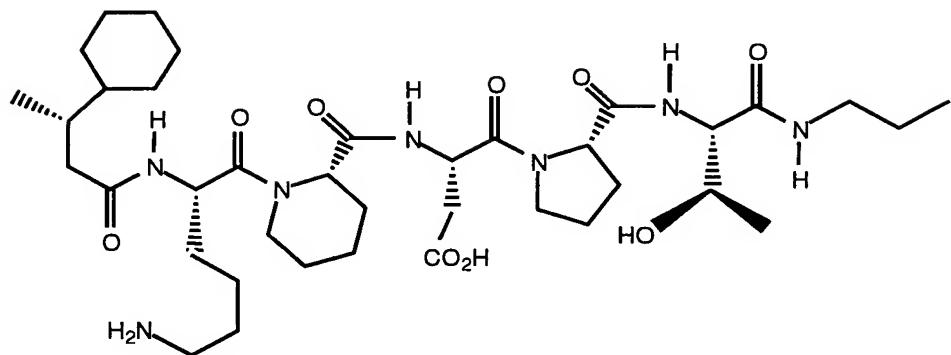
4. Compound of Claim 3 wherein R¹ is phenyl or cyclohexyl; wherein R² is hydrido or methyl; wherein R³ is selected from hydrido, hydroxy, acetyl(Lys)NH-, acetyl(Tyr)NH-, acetyl(Thr)NH-, acetylamino, propionylamino and benzyloxycarbonylamino; wherein R⁴ is hydrido; wherein R⁵ is selected from isopropyl, isobutyl, n-propyl, n-butyl, aminopropyl, aminobutyl, phenyl, benzyl, para-hydroxyphenyl, para-hydroxybenzyl, imidazolcarbonylethyl, imidazolcarbonylpropyl, pyrrolidinylcarbonylethyl, 10 pyrrolidinylcarbonylpropyl, azetidinylcarbonylethyl, azetidinylcarbonylpropyl, morpholinocarbonylethyl, morpholinocarbonylpropyl, piperazinocarbonylethyl, piperazinocarbonylpropyl, pyridinylcarbonylethyl, pyridinylcarbonylpropyl, oxazolylcarbonylethyl, 15 oxazolylcarbonylpropyl, isoxazolylcarbonylethyl, isoxazolylcarbonylpropyl, azepinylcarbonylethyl and azepinylcarbonylethyl; wherein R⁶ is selected from hydrido, methyl, hydroxy, methoxy, phenyl and aminocarbonyl; wherein R⁷ is carboxyl or methylthiomethyl; wherein R⁸ is hydrido; 20 wherein R⁹ is selected from hydrido, hydroxy, methyl, methoxy and phenyl; wherein R¹⁰ is hydroxy; wherein R¹¹ is methyl; wherein R¹² is selected from methyl, ethyl, propyl, butyl, isobutyl, -CH(iBu)CH₂OH and -CH(iBu)CONH₂; or a pharmaceutically-acceptable amide, ester or salt thereof.

25

5. Compound of Claim 4 which is

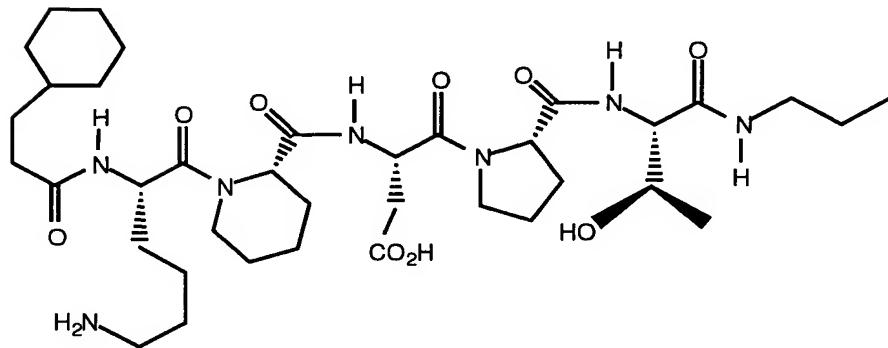


6. Compound of Claim 4 which is



5

7. Compound of Claim 4 which is



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8. Compound of Claim 4 which is

